

WO 2004/030701

1/8

PCT/EP2003/009750

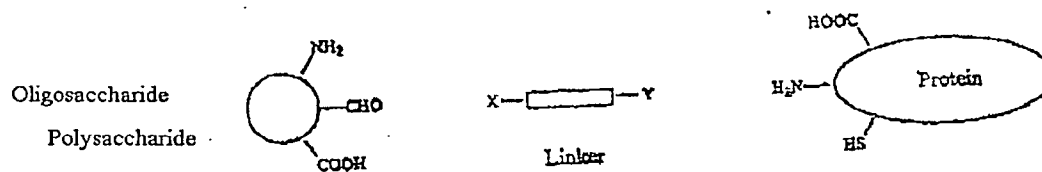


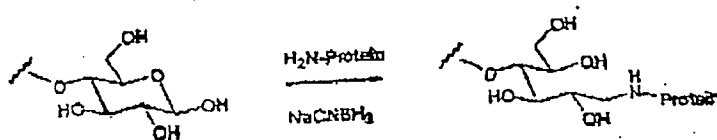
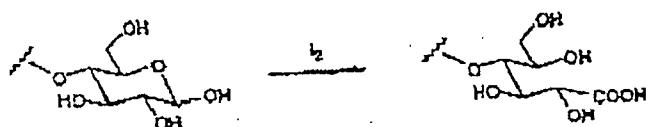
Fig. 1: Neoglycoprotein synthesis

WO 2004/030701

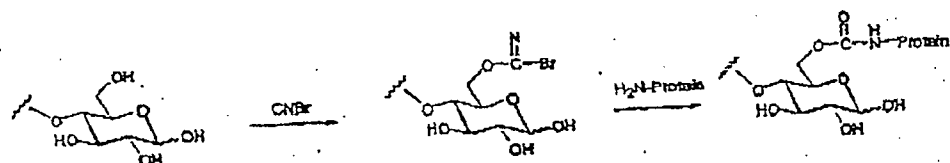
2/8

PCT/EP2003/009750

a) Reductive amination

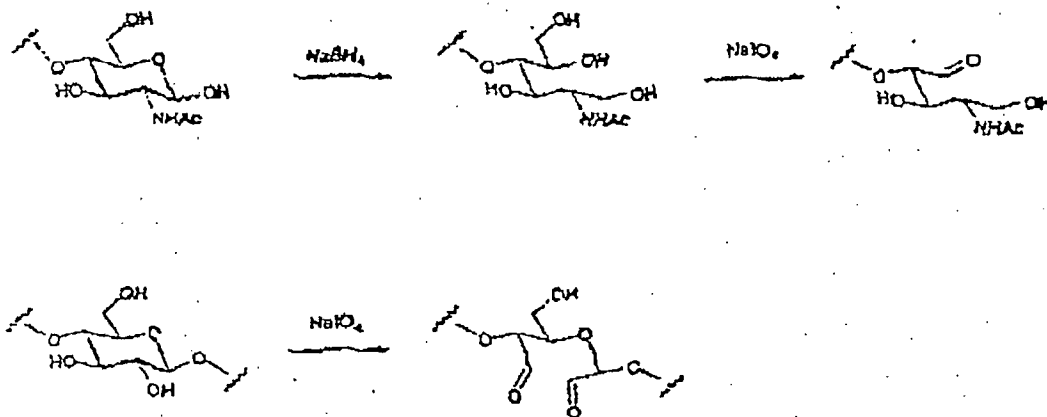
5 b) I₂ oxidation

c) CNBr activation



Alternative: activation with CDAP

10

d) NaIO₄ cleavage

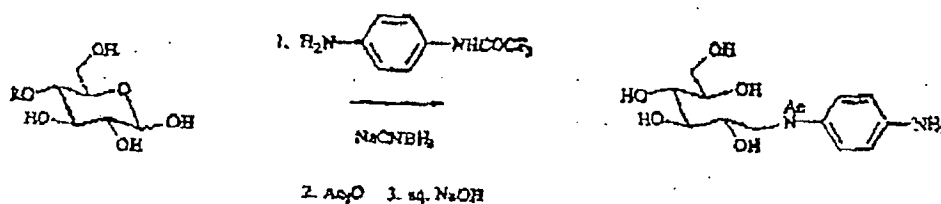
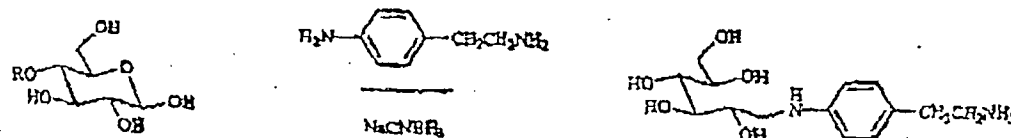
15 Fig. 2.1: Polysaccharide modification

WO 2004/030701

3/8

PCT/EP2003/009750

a) Reductive amination



5 b) N-glycosylation

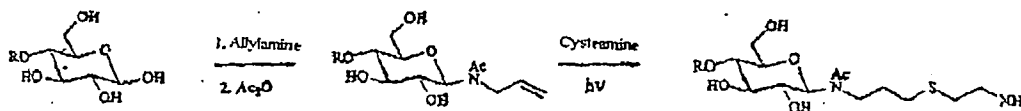
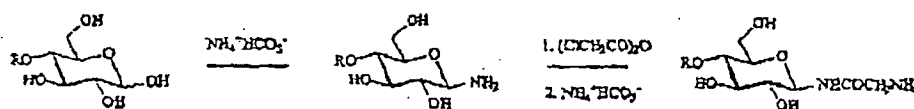


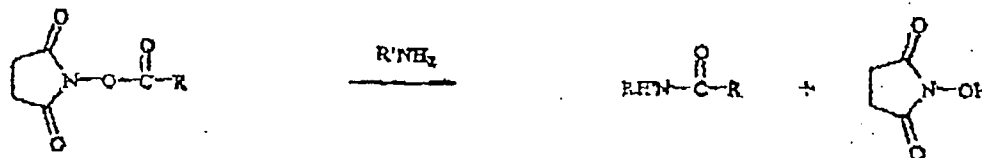
Fig. 2.2: Oligosaccharide modification

WO 2004/030701

4/8

PCT/EP2003/009750

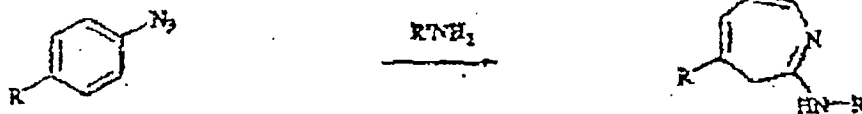
1a: N-Hydroxysuccinimides



5 1b: Imido esters



1c: Aryl azides



10

2: Hydrazides

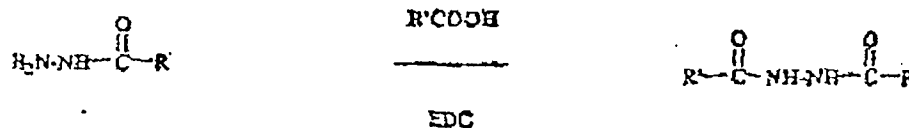
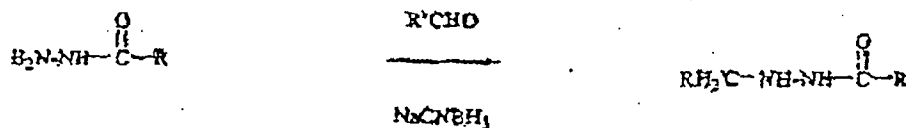


Fig. 3.1

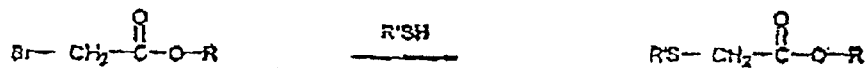
Fig. 3: NH₂ and CHO/COOH coupling reactions

WO 2004/030701

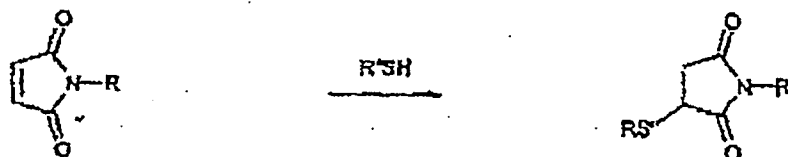
5/8

PCT/EP2003/009750

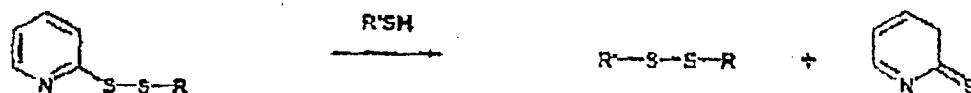
3a: Haloacetates



5 3b: Maleimides



3c: Pyridyl disulfides



10

Fig. 3.2

Fig. 3: SH coupling reactions

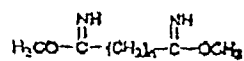
WO 2004/030701

6/8

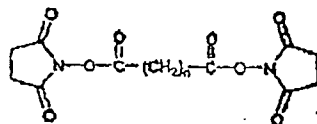
PCT/EP2003/009750

1: Homobifunctional

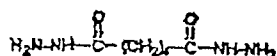
a)

DMA ($n = 4$)DMP ($n = 5$)DMS ($n = 6$)

b)

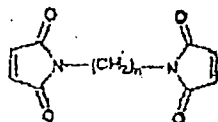
DSG ($n = 3$)DSS ($n = 6$)

c)



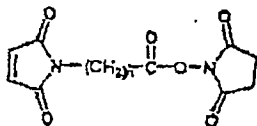
ADH

d)

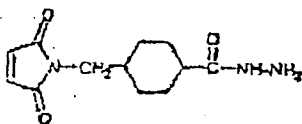
SMOE ($n = 2$)BMB ($n = 4$)BMH ($n = 6$)

2: Heterobifunctional

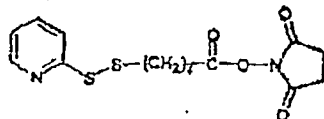
a)

AMAS ($n = 1$)GMBS ($n = 3$)EMCS ($n = 5$)

b)

 $\text{M}_2\text{C}_2\text{H}$

c)



SPDP

Fig. 4: Crosslinkers

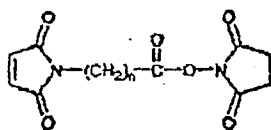
WO 2004/030701

7/8

PCT/EP2003/009750

1: Maleimide

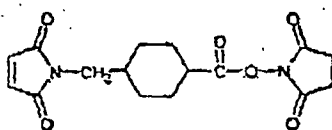
a)



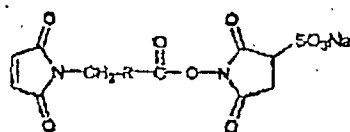
AMAS (n = 1)

GMBS (n = 3)

EMCS (n = 5)



SMCC

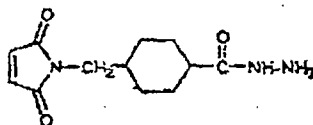


Sulfo-GMBS

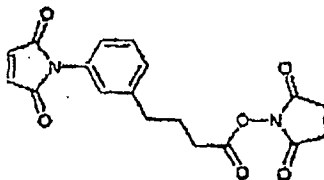
Sulfo-EMCS

Sulfo-SMCC

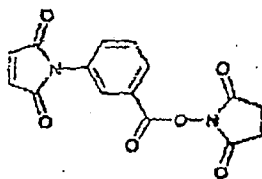
b)

M₂C₂H

c)



SMPB



MBS

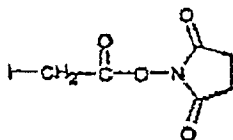
5 Fig. 5: Linkers for SH couplings

WO 2004/030701

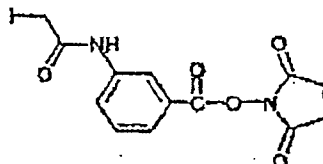
8/8

PCT/EP2003/009750

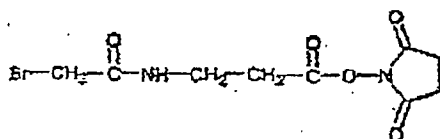
2: Haloacetate



SIA

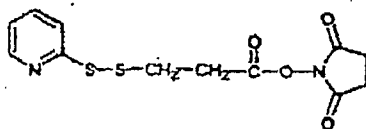


SIAB

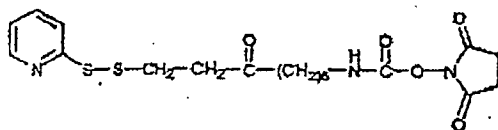


SBAP

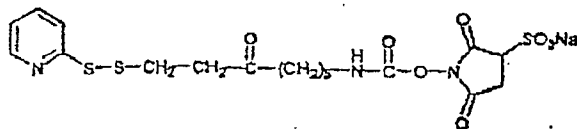
5 3: Pyridyl disulfide



SPDP



LC-SPDP



Sulfo-LC-SPDP

Fig. 5: Linkers for SH couplings